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EUROPEAN PATENT APPLICATION

21 Application number: 89302081.8

51 Int. Cl.4: **A 61 K 7/48**
A 61 K 47/00

22 Date of filing: 02.03.89

30 Priority: 03.03.88 AU 511/88 20.12.88 AU 2046/88

43 Date of publication of application:
06.09.89 Bulletin 89/36

64 Designated Contracting States:
AT BE CH DE ES FR GB GR IT LI LU NL SE

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64 **Acne treatment.**

57 A new treatment for acne has been developed. The treatment consists of a topical pharmaceutical formulation containing a biocide, one or more fatty acids and an aliphatic alcohol. Pharmaceutical preparations and a method of treatment are described in the present application.

EP 0 331 489 A2

Description

ACNE TREATMENT

The present invention resides in a new biocidal composition which is particularly applicable for use in treatment of acne.

BACKGROUND

Acne is caused by bacterial infection.

In the past, treatment of acne has normally comprised the external application of solutions, lotions or creams containing benzoyl peroxide or such phenolics as resorcinol. Although such treatment may be effective in some instances, the high proportion of instances where external treatment has been largely ineffective has led to the development of internal treatments, administration of which is liable to cause serious side-effects and is therefore highly undesirable except in very extreme cases.

Consequently, it has long been recognised that development of new methods of treating acne is highly desirable.

It has been found that one of the main reasons for the ineffectiveness of the known solutions, lotions and creams is that the active constituents do not reach the appropriate dermal site where treatment is required. Solutions tend to evaporate before penetrating the epidermis, and lotions and creams are generally too viscous to allow penetration of the epidermis.

SUMMARY OF THE INVENTION

The present invention ameliorates the disadvantages of the prior art by providing a synergistic composition comprising a biocide effective in killing acne bacteria, a fatty acid and an aliphatic alcohol.

Such compositions are of low viscosity and are therefore capable of rapid penetration of the epidermis. Preferred biocides are chosen from the group consisting of parachlorometaxylenol (PCMX), Benzoyl Peroxide, Triclosan, Sulphur and Salicylic Acid or mixtures thereof. The preferred fatty acids in the composition of the present invention are linoleic and/or linolenic acid although mixtures with other fatty acids of this type are also suitable. Linoleic and/or linolenic acid is preferably present in an amount of 0.5 - 5% w/w, more preferably of 0.82 - 1.25% w/w composition.

To improve the structure of the composition it may be produced in the form of a quick breaking foam, thus reducing the amount of evaporation on application but at the same time allowing rapid penetration of the epidermis. Quick breaking foams minimise early evaporation as they are applied to the skin surface as a thick foam which rapidly disintegrates when spread.

One preferred composition in the form of a quick breaking foam comprises biocide, linoleic and/or linolenic acid, a quick breaking agent and an aerosol propellant. Preferably a corrosion inhibitor is also included if the composition is to be stored in metal containers. However, this is not necessary if the container is of non-metal such as glass or plastic. The biocide is preferably in the composition in an amount between 0.05 and 1% w/w composition. Most preferably the amount is about 0.2% w/w.

Quick breaking foaming agents are well known. A general discussion may be found in Australian Patent 463216 which is incorporated herein by reference. The preferred agent in the composition of the present invention comprises an aliphatic alcohol, water, a fatty alcohol and a surface active agent. Preferably, the aliphatic alcohol is aqueous ethanol.

The most preferred quick break foaming agent comprises:

(a) An aliphatic alcohol preferably in amounts from 40-90% w/w composition, more preferably 55-70% w/w and most preferably 60% w/w;

(b) Water preferably in amounts from 10-40% w/w;

(c) A fatty alcohol, preferably in amounts from 0.5-10% w/w; and

(d) A surface active agent preferably an ethoxylated sorbitan ester (as emulsifier) typically in amounts from 0.1-15% w/w.

The aerosol propellant should be carefully selected for its compatibility with the entire composition. The most preferable propellants may be selected from the group comprising propane, butane, dichloro difluoro methane, dichloro tetra fluoro ethane, and octafluoro cyclo butane. The propellant is preferably present in amounts from 3 to 30% w/w, more preferably from about 5-15% w/w and most preferably 8 to 10% w/w.

Preferred corrosion inhibitors for use in the present invention include organic acid salts such as sorbic acid, benzoic acid, sodium benzoate and potassium sorbate. The preferred amount of corrosion inhibitor is about 0.1 to 15% w/w, more preferably 0.1 to 3% w/w.

The invention will now be more fully described by way of example although it should be understood by those skilled in the art that embodiments of the invention outside the scope of the examples are possible.

BEST MODE OF PERFORMING THE INVENTIONExample 1.

The following formulation is a general formulation which may be used as a basis for more specific formulations thus enabling variation as required for particular circumstances.

%ow/w		
PROPELLANT e.g. propane, butane, dichloro difluoro methane, dichloro tetra fluoro ethane, octafluoro cyclo butane and mixtures thereof	3-30	10
BIOCIDE e.g. parachlorometaxyleneol, Benzoyl Peroxide, Triclosan, Sulphur, Salicylic Acid and mixtures thereof	0.05-10	15
FATTY ACID e.g. linoleic and/or linolenic acid	0.5-5	20
FATTY ALCOHOL e.g. cetyl, stearyl, lauryl, myristyl, palmityl, and mixtures thereof	0.5-10	25
ALIPHATIC ALCOHOL e.g. methyl, ethyl isopropyl, butyl and mixtures thereof	40-90	30
WATER	10-40	35
POLYOL e.g. glycerol, propylene glycol, sorbitol and low molecular weight polymers thereof	1-10	40
ORGANIC ACID SALT e.g. sorbic acid, benzoic acid	0.1-15	45
SURFACE ACTIVE AGENT e.g. ethoxylated sorbitan stearate, palmitate, oleate, nonyl phenol ethoxylates, fatty alcohol ethoxylates.	0.1-15	50

The following examples are particularly preferred embodiments of the present invention.

Example 2.

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EP 0 331 489 A2

	%w/w
cetostearyl alcohol B.P.	1.60
polyoxyethylene	0.40
sorbitan monostearate N.F.	
ethanol 95% U.S.P.	52.50
polyunsaturated fatty acid	1.85
purified water B.P.	30.90
sodium hydroxide B.P.	0.25
parachlorometaxlenol	0.20
sodium benzoate B.P.	1.20
propylene glycol B.P.	2.00
fragrance	0.10
F12/114 (40:60) B.P.	<u>9.00</u>
	100.00

Example 3.

	%w/w
Parachlorometaxlenol	0.2
linoleic acid	1.25
cetyl stearyl alcohol	2.5
ethoxylated sorbitan monostearate	0.5
propylene glycol	3.0
ethyl alcohol (95%)	57.
sodium benzoate	0.2
purified water	26.35
dichloro difluoro methane)	
dichloro tetrafluoro ethane) blend	<u>9.00</u>
	100.00

Example 4.

	%w/w
Parachlorometaxylenol	0.18
linolenic acid	0.82
myristyl alcohol	3.00
thoxylated	0.8
octylalcohol	
glycerol	2.5
isopropyl alcohol	60.0
potassium sorbate	0.3
purified water	25.4
butane propane	<u>7.0</u>
	100.00

Example 5.

	%w/w
Sulphur	0.5
linoleic acid	1.5
myristyl alcohol	3.0
glycerol	2.5
ethoxylated myristyl alcohol	0.5
ethyl alcohol 95%	58.0
potassium sorbate	1.0
purified water	23.0
dichloro difluoro methane)	
dichloro tetrafluoro ethane)	<u>10.00</u>
	100.00

Example 6.

EP 0 331 489 A2

	%w/w
cetostearyl alcohol B.P.	1.60
polyoxyethylene	0.40
sorbitan monostearate N.F.	
ethanol 95% U.S.P.	52.50
polyunsaturated fatty acid	1.85
purified water B.P.	30.90
sodium hydroxide B.P.	0.25
salicylic acid	0.20
sodium benzoate B.P.	1.20
propylene glycol B.P.	2.00
fragrance	0.10
F12/114 (40:60) B.P.	<u>9.00</u>
	100.00

Example 7.

	%w/w
Salicylic acid	0.2
linoleic acid	1.25
cetyl stearyl alcohol	2.5
ethoxylated sorbitan monostearate	0.5
propylene glycol	3.0
ethyl alcohol (95%)	57.
sodium benzoate	0.2
purified water	26.35
dichloro difluoro methane)	
dichloro tetrafluoro ethane) blend	<u>9.00</u>
	100.00

Example 8.

	%w/w
Triclosan	0.18
linolenic acid	0.82
myristyl alcohol	3.00
ethoxylated	0.8
octylalcohol	
glycerol	2.5
isopropyl alcohol	60.0
potassium sorbate	0.3
purified water	25.4
butane propane	<u>7.0</u>
	100.00

Example 9.

	%w/w
Sulphur	0.5
linoleic acid	1.5
myristyl alcohol	3.0
glycerol	2.5
ethoxylated myristyl alcohol	0.5
ethyl alcohol 95%	58.0
potassium sorbate	1.0
purified water	23.0
dichloro difluoro methane)	
dichloro tetrafluoro ethane)	<u>10.00</u>
	100.00

Example 10.

	%w/w
5 Benzoyl Peroxide	0.5
linoleic acid	1.5
myristyl alcohol	3.0
10 glycerol	2.5
ethoxylated myristyl alcohol	0.5
15 ethyl alcohol 95%	58.0
potassium sorbate	1.0
20 purified water	23.0
dichloro difluoro methane)	
25 dichloro tetrafluoro ethane)	<u>10.00</u>
	100.00

Example 11.

	%w/w
35 cetostearyl alcohol B.P.	1.60
polyoxyethylene	0.40
sorbitan monostearate	
40 N.F.	
ethanol 95% U.S.P.	53.75
polyunsaturated fatty acid	1.85
purified water B.P.	34.65
45 sodium hydroxide B.P.	0.25
parachlorometaxlenol	0.20
sodium benzoate B.P.	1.20
propylene glycol B.P.	2.00
50 fragrance	0.10
propane/butane	<u>4.00</u>
	110.00

Example 12.

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	%w/w	
cetostearyl alcohol B.P.	2.1	
polyoxyethylene	0.40	
sorbitan monostearate N.F.		5
ethanol 95% U.S.P.	53.4	
polyunsaturated fatty acid	1.85	
purified water B.P.	34.5	10
sodium hydroxide B.P.	0.25	
parachlorometaxylenol	0.20	
sodium benzoate B.P.	1.20	
propylene glycol B.P.	2.00	
fragrance	0.10	15
propane/butane	4.00	
	100.00	

Claims

1. A bactericidal composition, for use in the external treatment of acne, comprising a biocide effective in killing acne bacteria, a fatty acid and an aliphatic alcohol. 25
2. A composition according to claim 1 wherein the biocide is selected from the group consisting of parachlorometaxylenol, benzoyl peroxide, triclosan, sulphur, and salicylic acid, or mixtures thereof.
3. A composition according to claim 1 wherein the fatty acid is either linoleic acid, linolenic acid, or a mixture. 30
4. A composition according to any one of claims 1 to 3 wherein the aliphatic alcohol is aqueous ethanol.
5. A composition according to any one of claims 1 to 3 wherein the composition is in the form of a quick breaking foam.
6. A composition according to any one of the preceeding claims comprising 0.05 to 10%w/w of a biocide selected from the group comprising parachlorometaxylenol, benzoyl peroxide, triclosan, sulphur, salicylic acid or mixtures thereof, 0.5 to 5.0%w/w linoleic and/or linolenic acid, 24.0 to 95.25%w/w quick breaking foaming agent, and 3 to 30%w/w aerosol propellant. 35
7. A composition according to claim 6 further containing a corrosion inhibitor.
8. A composition according to claim 6 wherein the quick breaking foaming agent comprises 40 to 90%w/w aliphatic alcohol, 10 to 40%w/w water, 0.5 to 10%w/w fatty alcohol and 0.1 to 15%w/w surface active agent. 40
9. A composition according to any one of the preceeding claims wherein the biocide is present in an amount of about 0.2%w/w.
10. A composition according to any one of the preceeding claims wherein the linoleic and/or linolenic acid is present in an amount between about 0.8 and 1.25%w/w. 45
11. A composition according to any preceding claim for use in the manufacture of a medicament for the external treatment of acne. 50



Europäisches Patentamt
European Patent Office
Office européen des brevets

Publication number:

**0 331 489
A3**

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43 Date of publication of application:
06.09.89 Bulletin 89/36

84 Designated Contracting States:
AT BE CH DE ES FR GB GR IT LI LU NL SE

88 Date of deferred publication of search report:
28.03.90 Bulletin 90/13

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EP 0 331 489 A3



European Patent
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EUROPEAN SEARCH REPORT

Application Number

EP 89 30 2081

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl. 4)
X	US-A-3 535 422 (R.M. COX) * Whole document *	1,2,11	A 61 K 7/48 A 61 K 47/00
A	GB-A-2 018 589 (BRISTOL-MYERS CO.) * Page 1, lines 5-31; page 2, lines 17-31 *	1-11	
A	GB-A-2 181 349 (PARFUMS ROCHAS) * Claims 1-3 *	1-11	
D,A	AU-A- 463 216 (BRISTOL-MYERS CO.) * Claims 1,7,13-15 *	6,8	
			TECHNICAL FIELDS SEARCHED (Int. Cl.4)
			A 61 K
The present search report has been drawn up for all claims			
Place of search THE HAGUE		Date of completion of the search 20-12-1989	Examiner MUELLNERS W.
CATEGORY OF CITED DOCUMENTS			
X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document		T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons & : member of the same patent family, corresponding document	